

10/524,451<sup>m-1</sup> Yong Chu 9-22-2006

\$%^STN;HighlightOn=;HighlightOff=;

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NEWS	1	Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3 FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4 MAY 10	CA/Capplus enhanced with 1900-1906 U.S. patent records
NEWS	5 MAY 11	KOREAPAT updates resume
NEWS	6 MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7 MAY 30	IPC 8 Rolled-up Core codes added to CA/Capplus and USPATFULL/USPAT2
NEWS	8 MAY 30	The F-Term thesaurus is now available in CA/Capplus
NEWS	9 JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10 JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11 JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12 JUL 11	CHEMSAFE reloaded and enhanced
NEWS	13 JUL 14	FSTA enhanced with Japanese patents
NEWS	14 JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15 AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16 AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17 AUG 30	CA(SM)/Capplus(SM) Austrian patent law changes
NEWS	18 SEP 11	CA/Capplus enhanced with more pre-1907 records
NEWS	19 SEP 21	CA/Capplus fields enhanced with simultaneous left and right truncation
NEWS EXPRESS	JUNE 30	CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability	
NEWS LOGIN	Welcome Banner and News Items	
NEWS IPC8	For general information regarding STN implementation of IPC 8	
NEWS X25	X.25 communication option no longer available	

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=> file reg

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SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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0.21

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STRUCTURE FILE UPDATES: 21 SEP 2006 HIGHEST RN 908228-18-2

DICTIONARY FILE UPDATES: 21 SEP 2006 HIGHEST RN 908228-18-2

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

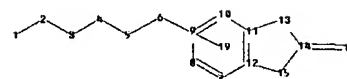
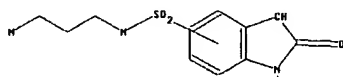
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

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chain nodes :

1 2 3 4 5 6 16 17

ring nodes :

7 8 9 10 11 12 13 14 15

chain bonds :

1-2 2-3 3-4 4-5 5-6 14-16 15-17

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN *close, but not art*  
ACCESSION NUMBER: 2000:12973 CAPLUS Full-text  
DOCUMENT NUMBER: 132:30325  
TITLE: New .alpha.-Substituted Succinate-Based Hydroxamic  
Acids as TNF.alpha. Convertase Inhibitors  
AUTHOR(S): Barlaam, Bernard; Bird, T. Geoffrey; Lambert-van der  
Brempt, Christine; Campbell, Douglas; Foster, Steve  
J.; Maciewicz, Rose  
CORPORATE SOURCE: Centre de Recherches, AstraZeneca Zeneca Pharma,  
Reims, 51689, Fr.  
SOURCE: Journal of Medicinal Chemistry (1999), 42(23),  
4890-4908  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

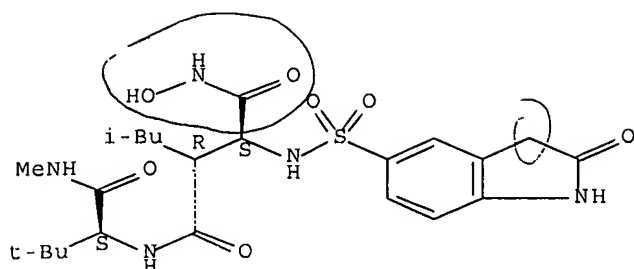
AB Tumor necrosis factor .alpha. convertase (TACE), the enzyme responsible for the processing of pro-TNF.alpha. to TNF.alpha., has been reported to be a metalloproteinase closely related to matrix metalloproteinases (MMPs). Current inhibitors of TACE such as succinate-based hydroxamic acids exemplified by Marimastat (TACE IC50: 3.8 nM; blood IC50: 7 .mu.M) and BB1101 (TACE IC50: 0.2 nM; blood IC50: 2.3 .mu.M) suffer from modest potency in blood and poor in vivo properties. The introduction of new bulky .alpha.-substituents into these succinate-based hydroxamic acids was studied. Substituents such as thioethers, sulfonamides, and ethers showed improved potency against TACE when compared with Marimastat. Although this improvement did not translate into better blood potency for thioether or ether substituents, the sulfonamide series exhibited improved potency both against TACE and in blood when compared with Marimastat. Optimization of this sulfonamide series has culminated in the identification of heterocyclic bicyclic sulfonamides as good TACE inhibitors.

IT 204125-83-7P  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(new .alpha.-substituted succinate-based hydroxamic acids as TNF.alpha. convertase inhibitors)

RN 204125-83-7 CAPLUS

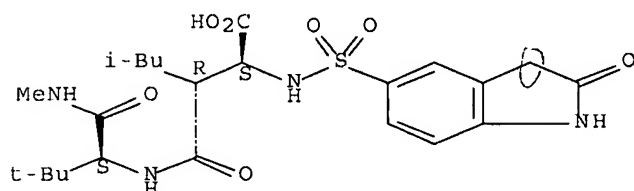
CN L-Valinamide, (3R)-N2-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-N-hydroxy-3-(2-methylpropyl)-L-.alpha.-asparaginyln-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



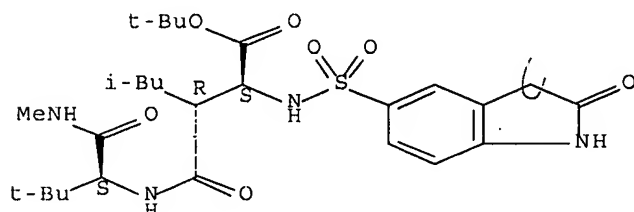
IT 204126-28-3P 204126-29-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (new .alpha.-substituted succinate-based hydroxamic acids as TNF.alpha.  
 convertase inhibitors)  
 RN 204126-28-3 CAPLUS  
 CN L-Valinamide, (3R)-N-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-3-(2-  
 methylpropyl)-L-.beta.-aspartyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204126-29-4 CAPLUS  
 CN L-Valinamide, (3R)-N-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-3-(2-  
 methylpropyl)-L-.beta.-aspartyl-N,3-dimethyl-, 1,1-dimethylethyl ester  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1998:161082 CAPLUS Full-text  
 DOCUMENT NUMBER: 128:205148

TITLE: Preparation of peptide sulfonamides as inhibitors of tumor necrosis factor  
 INVENTOR(S): Barlaam, Bernard Christophe  
 PATENT ASSIGNEE(S): Zeneca Limited, Fr.  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807742	A1	19980226	WO 1997-GB2222	19970819
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM KW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740217	A1	19980306	AU 1997-40217	19970819
ZA 9707580	A	19990217	ZA 1997-7580	19970822
PRIORITY APPLN. INFO.:			FR 1996-1815	A 19960823
			FR 1996-2031	A 19960925
			EP 1996-401815	A 19960823
			EP 1996-402031	A 19960925
			WO 1997-GB2222	W 19970819

OTHER SOURCE(S): MARPAT 128:205148

AB Peptide sulfonamides HONHCOCH(NHSO<sub>2</sub>R<sub>1</sub>)CHR<sub>2</sub>CONHCHR<sub>3</sub>CONR<sub>4</sub>R<sub>5</sub> (R<sub>1</sub> = aryl, heterocyclyl, heteroaryl; R<sub>2</sub> = H, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, aryl-, heteroaryl-, heterocyclyl- or cycloalkylalkyl; R<sub>3</sub> = alkyl, alkenyl, aryl, alkyl, heteroarylalkyl or the side-chain of a naturally occurring amino acid; R<sub>4</sub> = H, alkyl, cycloalkyl, cycloalkenyl, aryl-, heteroaryl- or heterocyclylalkyl; R<sub>5</sub> = H, alkyl or R<sub>4</sub>R<sub>5</sub>N = heterocyclyl; any group or ring in R<sub>1</sub>-R<sub>5</sub> is optionally substituted) or their pharmaceutically acceptable salts or in vivo hydrolyzable esters were prepd. as inhibitors of the prodn. of tumor necrosis factor and/or one or more matrix metalloproteinase enzymes. Thus, N<sub>2</sub>-[4-(hydroxyamino)-2R-isobutyl-3S-benzenesulfonylamino succinyl]-L-leucine-N<sub>1</sub>-methylamide was prepd. via sequential benzenesulfonylation, deprotection, and hydroxylation of intermediate N<sub>2</sub>-[2R-isobutyl-3S-amino-4-tert-butyloxysuccinyl]-L-leucine-N<sub>1</sub>-methylamide.

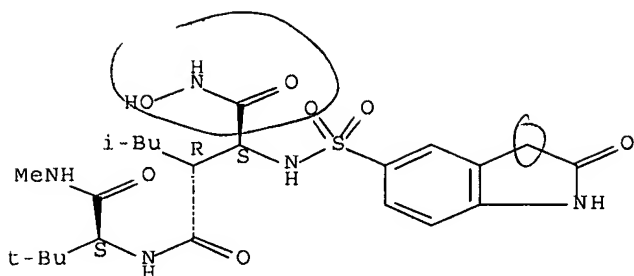
IT 204125-83-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of peptide sulfonamides as inhibitors of tumor necrosis factor)

RN 204125-83-7 CAPLUS

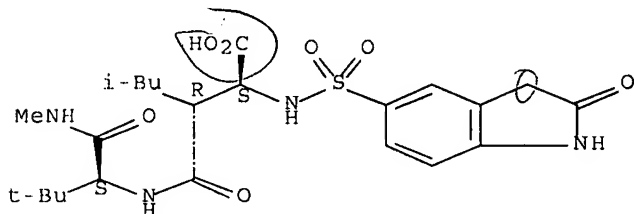
CN L-Valinamide, (3R)-N<sub>2</sub>-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-N-hydroxy-3-(2-methylpropyl)-L-.alpha.-asparaginyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



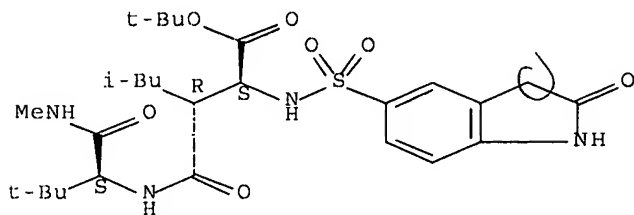
IT 204126-28-3P 204126-29-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. of peptide sulfonamides as inhibitors of tumor necrosis factor)  
 RN 204126-28-3 CAPLUS  
 CN L-Valinamide, (3R)-N-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-3-(2-  
 methylpropyl)-L-.beta.-aspartyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204126-29-4 CAPLUS  
 CN L-Valinamide, (3R)-N-[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]-3-(2-  
 methylpropyl)-L-.beta.-aspartyl-N,3-dimethyl-, 1,1-dimethylethyl ester  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
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STN INTERNATIONAL LOGOFF AT 16:41:33 ON 22 SEP 2006

ring bonds :  
 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15  
 exact/norm bonds :  
 1-2 4-5 5-6 11-13 12-15 13-14 14-15 14-16 15-17  
 exact bonds :  
 2-3 3-4  
 normalized bonds :  
 7-8 7-12 8-9 9-10 10-11 11-12

G1:H,Ak

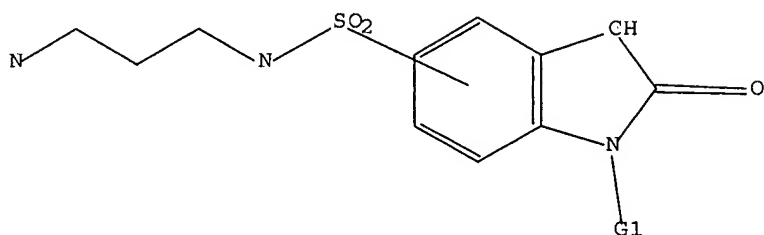
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 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom  
 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 19:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:39:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED 59 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 720 TO 1640

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 16:39:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1558 TO ITERATE



100.0% PROCESSED 1558 ITERATIONS  
SEARCH TIME: 00.00.01

4 ANSWERS

L3 4 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST

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167.59

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=> s l3

L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1021739 CAPLUS Full-text

DOCUMENT NUMBER: 143:326208

TITLE: Preparation of diamino-mono-ol dipeptide isostere core based resistance-repellent retroviral protease inhibitors

INVENTOR(S): Eissenstat, Michael; Guerassina, Tatiana

PATENT ASSIGNEE(S): Sequoia Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

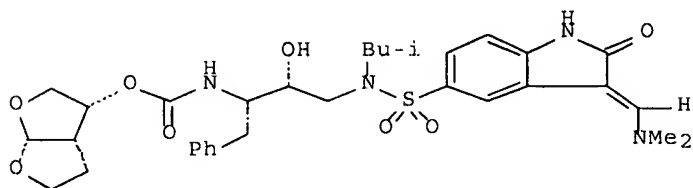
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087728	A1	20050922	WO 2005-US8381	20050311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005209301 A1 20050922 US 2005-77135 20050311  
 PRIORITY APPLN. INFO.: US 2004-552643P P 20040311  
 OTHER SOURCE(S): MARPAT 143:326208  
 GI



II

AB Title compds. X-A-B-A'-X' [X = 5-7 membered non-arom. heterocycle; A = ZCZNH, ZCOCONH, ZSO2NH, etc.; Z = amino, O, S, etc.; B = syn-CH(D)CH(OH)CH2; D = alk(en/yn)yl; aryl, cycloalkyl, etc.; A' = ND'-E'; D' = alk(en/yn)yl, aryl, cycloalkyl, etc.; E' = CO, SO, SO2; X' = indolyl; I] are prepd. For instance, II is prepd. in several steps from 2-oxo-2,3-dihydro-1H-indol-5-sulfonyl chloride (prepn. given), [1-benzyl-2-hydroxy-4-phenylbutyl]isobutylcarbamic acid benzyl ester, carbonic acid 2,5-dioxopyrrolidin-1-yl ester hexahydrofuro[2,3-b]furan-3-yl ester and DMF di-Me acetal. II has an IC50 = 93 nM for a recombinant wild type HIV protease. I are useful for treating HIV infections.

IT 664344-17-6P

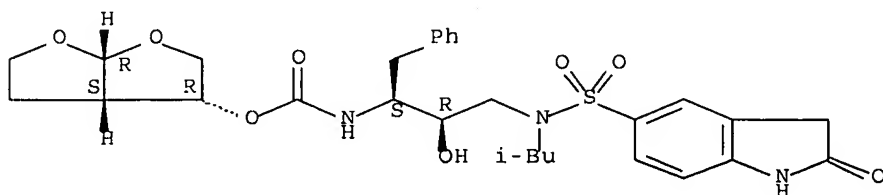
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of diamino-mono-ol dipeptide isostere core based resistance-repellent retroviral protease inhibitors)

RN 664344-17-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

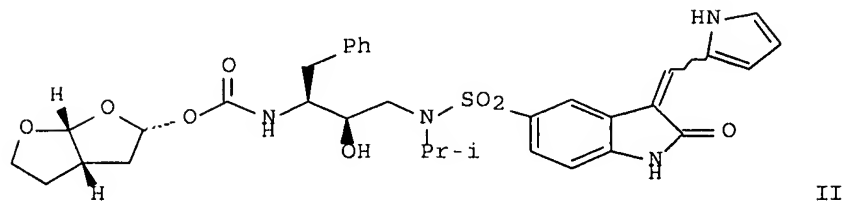
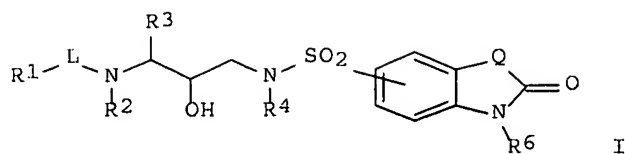


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:162694 CAPLUS Full-text  
DOCUMENT NUMBER: 140:210820  
TITLE: Broad spectrum substituted oxindole sulfonamide HIV protease inhibitors  
INVENTOR(S): Tahri, Abdellah; Wigerinck, Piet Tom Bert Paul  
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.  
SOURCE: PCT Int. Appl., 53 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

*Current appl.*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016619	A1	20040226	WO 2003-EP50379	20030814
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2493940	AA	20040226	CA 2003-2493940	20030814
AU 2003262574	A1	20040303	AU 2003-262574	20030814
BR 2003005771	A	20041005	BR 2003-5771	20030814
EP 1546153	A1	20050629	EP 2003-787818	20030814
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1688586	A	20051026	CN 2003-824095	20030814
JP 2005537305	T2	20051208	JP 2004-528524	20030814
US 2006058368	A1	20060316	US 2005-524451	20050210
NO 2005001291	A	20050518	NO 2005-1291	20050314
PRIORITY APPLN. INFO.:			EP 2002-78384	A 20020814
			WO 2003-EP50379	W 20030814
OTHER SOURCE(S):	MARPAT 140:210820			
GI				



AB The present invention concerns the compds. having the formula (I) N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, esters, and metabolites thereof [wherein R1, R8 = H, C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, aryl, Het1, Het1-C1-6 alkyl, etc.; or R1 = (un)substituted H2N-CH2CH; t is 0, 1 or 2; R2 = H, C1-6 alkyl; L = CO, O-CO, NR8CO, O-C1-6 alkanediyl-CO, NR8-C1-6 alkanediyl-CO, SO2, O-SO2, NR8-SO2; R3 = (un)substituted C1-6 alkyl, aryl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, aryl-C1-4alkyl; R4 = H, C1-4 alkyl-O-CO, carboxyl, CONH2, mono- or di(C1-4alkyl)carbamoyl, C3-7 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl; R6 = H, (un)substituted C1-6 alkyl; Het1 is a 5 to 10 ring membered mono- or bicyclic heterocycle contg. .gtoreq.1 heteroatoms selected from N, O, and S]. It further relates to their use as broad spectrum HIV protease inhibitors, pharmaceutical compns., and a method for treating or combating infection or disease assocd. with multi-drug resistant retrovirus infection in a mammal. It also may concern combinations thereof with another anti-retroviral agent, and to their use in assays as ref. compds. or as reagents. These compds. I exhibited potent anti-HIV activity against a wild type lab. HIV strain (HIV-1 strain L-AI), e.g. sec50 of 8.5 for the compd. (II), and also were effective in inhibiting a broad range of mutant strains which show various degrees of phenotypic cross-resistance to the currently com. available drugs such as for instance saquinavir, ritonavir, nelfinavir, indinavir and amprenavir.

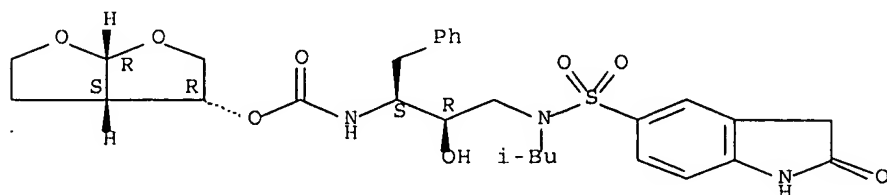
IT 664344-17-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(substituted oxindolesulfonamide derivs. for use as broad spectrum HIV protease inhibitors)

RN 664344-17-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/524,451M Yong Chu 9-22-2006

\$%^STN;HighlightOn=;HighlightOff=;

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NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAPLUS and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAPLUS
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUL 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUL 14	FSTA enhanced with Japanese patents
NEWS	14	JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAPLUS(SM) Austrian patent law changes
NEWS	18	SEP 11	CA/CAPLUS enhanced with more pre-1907 records
NEWS	19	SEP 21	CA/CAPLUS fields enhanced with simultaneous left and right truncation

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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DICTIONARY FILE UPDATES: 21 SEP 2006 HIGHEST RN 908228-18-2

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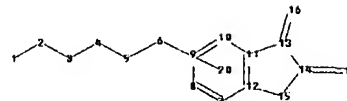
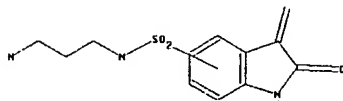
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chain nodes :

1 2 3 4 5 6 16 17 18

ring nodes :

7 8 9 10 11 12 13 14 15

chain bonds :

1-2 2-3 3-4 4-5 5-6 13-16 14-17 15-18  
 ring bonds :  
 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15  
 exact/norm bonds :  
 1-2 4-5 5-6 11-13 12-15 13-14 14-15 14-17 15-18  
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 2-3 3-4 13-16  
 normalized bonds :  
 7-8 7-12 8-9 9-10 10-11 11-12

G1:H,Ak

Match level :

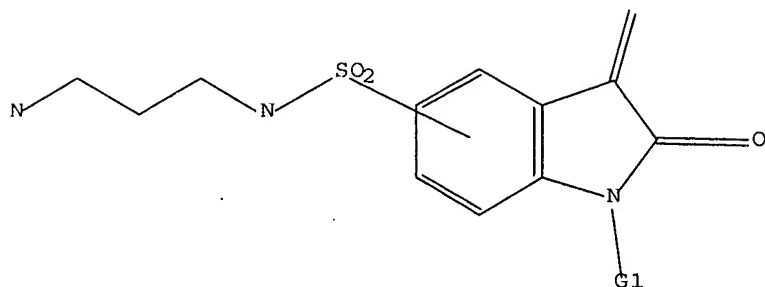
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 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 20:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:18:13 FILE 'REGISTRY'  
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100.0% PROCESSED 14 ITERATIONS 5 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
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 PROJECTED ITERATIONS: 56 TO 504  
 PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 full  
FULL SEARCH INITIATED 16:18:21 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 523 TO ITERATE

100.0% PROCESSED 523 ITERATIONS 157 ANSWERS  
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L4 3 L3

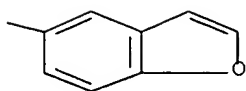
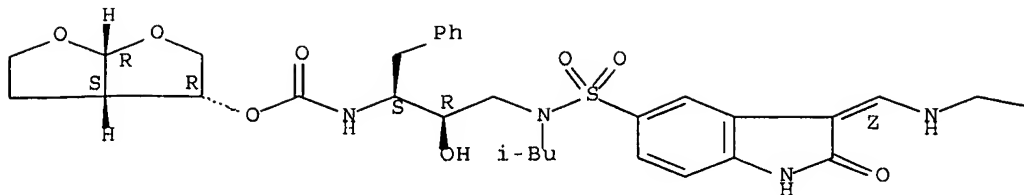
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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2005:1021739 CAPLUS Full-text  
DOCUMENT NUMBER: 143:326208  
TITLE: Preparation of diamino-mono-ol dipeptide isostere core based resistance-repellent retroviral protease inhibitors  
INVENTOR(S): Eissenstat, Michael; Guerassina, Tatiana  
PATENT ASSIGNEE(S): Sequoia Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 78 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

*Not art  
late*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

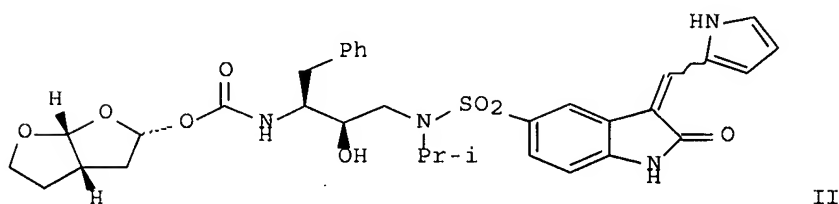
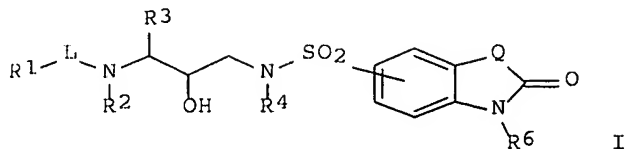
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:162694 CAPLUS Full-text  
 DOCUMENT NUMBER: 140:210820  
 TITLE: Broad spectrum substituted oxindole sulfonamide HIV protease inhibitors  
 INVENTOR(S): Tahri, Abdellah; Wigerinck, Piet Tom Bert Paul  
 PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

*Current application*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016619	A1	20040226	WO 2003-EP50379	20030814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493940	AA	20040226	CA 2003-2493940	20030814
AU 2003262574	A1	20040303	AU 2003-262574	20030814
BR 2003005771	A	20041005	BR 2003-5771	20030814
EP 1546153	A1	20050629	EP 2003-787818	20030814
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1688586	A	20051026	CN 2003-824095	20030814
JP 2005537305	T2	20051208	JP 2004-528524	20030814
US 2006058368	A1	20060316	US 2005-524451	20050210
NO 2005001291	A	20050518	NO 2005-1291	20050314
PRIORITY APPLN. INFO.:			EP 2002-78384	A 20020814
			WO 2003-EP50379	W 20030814
OTHER SOURCE(S):		MARPAT 140:210820		
GI				



AB The present invention concerns the compds. having the formula (I) N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, esters, and metabolites thereof [wherein R1, R8 = H, C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, aryl, Het1, Het1-C1-6 alkyl, etc.; or R1 = (un)substituted H2N-CH2CH; t is 0, 1 or 2; R2 = H, C1-6 alkyl; L = CO, O-CO, NR8CO, O-C1-6 alkanediyl-CO, NR8-C1-6alkanediyl-CO, SO2, O-SO2, NR8-SO2; R3 = (un)substituted C1-6 alkyl, aryl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, aryl-C1-4alkyl; R4 = H, C1-4 alkyl-O-CO, carboxyl, CONH2, mono- or di(C1-4alkyl)carbonyl, C3-7 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl; R6 = H, (un)substituted C1-6 alkyl; Het1 is a 5 to 10 ring membered mono- or bicyclic heterocycle contg. .gtoreq.1 heteroatoms selected from N, O, and S]. It further relates to their use as broad spectrum HIV protease inhibitors, pharmaceutical compns., and a method for treating or combating infection or disease assocd. with multi-drug resistant retrovirus infection in a mammal. It also may concern combinations thereof with another anti-retroviral agent, and to their use in assays as ref. compds. or as reagents. These compds. I exhibited potent anti-HIV activity against a wild type lab. HIV strain (HIV-1 strain L-AI), e.g. sec50 of 8.5 for the compd. (II), and also were effective in inhibiting a broad range of mutant strains which show various degrees of phenotypic cross-resistance to the currently com. available drugs such as for instance saquinavir, ritonavir, nelfinavir, indinavir and amprenavir.

IT 664344-03-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted oxindolesulfonamide derivs. for use as broad spectrum HIV protease inhibitors)

RN 664344-03-0 CAPLUS

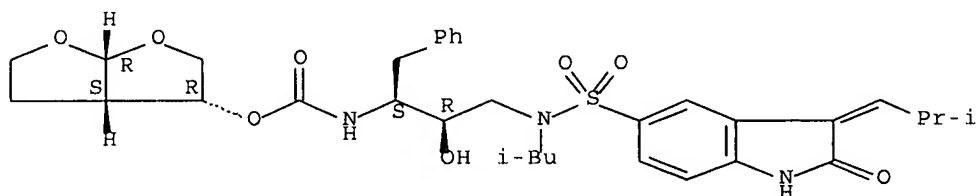
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RN 664344-45-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[2,3-dihydro-3-(2-methylpropylidene)-2-oxo-1H-indol-5-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

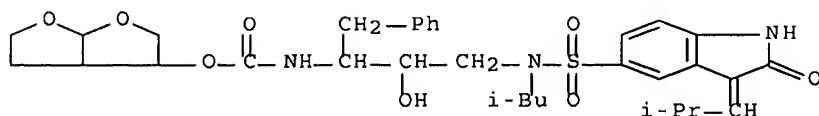
Absolute stereochemistry.

Double bond geometry unknown.



RN 664344-46-1 CAPLUS

CN Carbamic acid, [3-[[[2,3-dihydro-3-(2-methylpropylidene)-2-oxo-1H-indol-5-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:665557 CAPLUS Full-text

DOCUMENT NUMBER: 139:358012

TITLE:

Potent small molecule inhibitors of spleen tyrosine kinase (Syk)

AUTHOR(S):

Lai, Justine Y. Q.; Cox, Paul J.; Patel, Rajesh; Sadiq, Shazia; Aldous, David J.; Thurairatnam, Sukanthini; Smith, Keith; Wheeler, Darren; Jagpal, Savita; Parveen, Sofia; Fenton, Gary; Harrison, Trevor K. P.; McCarthy, Clive; Bamborough, Paul

CORPORATE SOURCE:

Aventis Pharmaceuticals, Brigdewater, NJ, 08807, USA

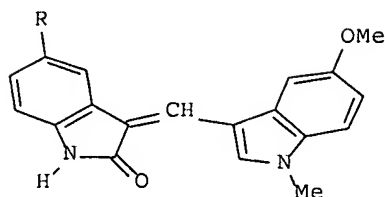
SOURCE:

Bioorganic & Medicinal Chemistry Letters (2003),

late one, PRD  
8/14/02

13(18), 3111-3114  
 CODEN: BMCLE8; ISSN: 0960-894X  
 Elsevier Science B.V.  
 Journal  
 English  
 CASREACT 139:358012

PUBLISHER:  
 DOCUMENT TYPE:  
 LANGUAGE:  
 OTHER SOURCE(S):  
 GI



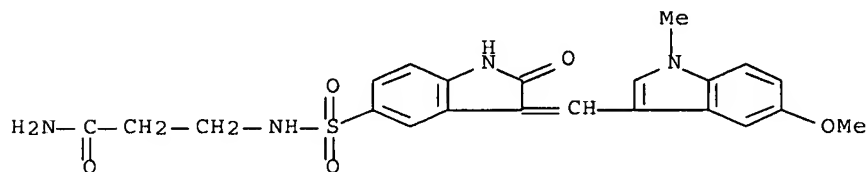
I

AB A series of oxindoles demonstrating inhibition of the phosphorylation of biotinylated substrates of Syk and IgE/Fc.εRI triggered basophil cell degranulation has been identified. A study of the SAR around sulfonamide I (R = SO<sub>2</sub>NH<sub>2</sub>) (IC<sub>50</sub>=5 nM, EC<sub>50</sub>=1400 nM) is discussed. The modest cellular activity representative of the sulfonamide series was overcome when the Polar Surface Area was lowered to <110 Å<sup>2</sup>, leading to the identification of amide II (R = CONHMe) (IC<sub>50</sub>=145 nM, EC<sub>50</sub>=100 nM).

IT 622388-05-0P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (oxindoles as inhibitors of spleen tyrosine kinase)

RN 622388-05-0 CAPLUS

CN Propanamide, 3-[[[2,3-dihydro-3-[(5-methoxy-1-methyl-1H-indol-3-yl)methylene]-2-oxo-1H-indol-5-yl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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